

Beiersdorf Aktiengesellschaft

371 → *June*
Bi

5

Description

Use of sugar derivatives as antimicrobial, antimycotic
and/or antiviral active ingredients

- 10 The present invention relates to the use of substances,
which are known per se, as substances which are active
against bacteria, mycota and viruses. In particular
embodiments, the present invention relates to cosmetic
and dermatological formulations comprising such
15 substances.

- The healthy warm-blooded organism, in particular the
healthy human skin, is populated with a large number of
non-pathogenic microorganisms. This so-called microflora
20 of the skin is not only harmless, it constitutes an
important protection for defence against opportunistic
or pathogenic microbes.

- Bacteria belong to the prokaryotic single-cell
25 organisms. They can be distinguished roughly according
to their shape (sphere, cylinder, curved cylinder) and
according to the structure of their cell wall (Gram-
positive, Gram-negative). More precise classifications
take additional account of the physiology of the
30 organisms. Thus, aerobic, anaerobic and facultatively
anaerobic bacteria exist. Some individuals are of
medical importance in their properties as pathogenic
microbes, and others in turn are completely harmless.

- 35 Substances which are active against bacteria have been
known for a considerable length of time. For example,
the term "antibiotics", which cannot be applied to all
substances having an antimicrobial action, can be dated

09091602 04499

5

10

15

20

30

35

In another embodiment, the present invention relates to cosmetic deodorants. Such formulations serve to

5

10

15

20

30

Finally, body odour can also be masked by fragrances, a method which meets the aesthetic requirements of the

consumer the least, since the mixture of body odour and perfume fragrance smells rather unpleasant.

5 Nevertheless, most cosmetic deodorants, and also most cosmetics overall, are perfumed, even if they comprise deodorizing active ingredients. Perfuming can also serve to increase consumer acceptance of a cosmetic product or to give a product a certain flair.

10 However, perfuming of cosmetic compositions comprising active ingredients, in particular cosmetic deodorants, is not infrequently problematic, because active ingredients and perfume constituents may occasionally react with one another and render each other inactive.

15 Deodorants should fulfil the following conditions:

- 1) They should have the effect of reliable deodorizing.
- 20 2) The natural biological processes of the skin should not be impaired by the deodorants.
- 3) The deodorants must be harmless in the event of an overdose or other use which is not as specified.
- 4) They should not become concentrated on the skin after repeated use.
- 25 5) They should be easy to incorporate into the customary cosmetic formulations.

Another object of the present invention was thus to develop cosmetic deodorants which do not have the disadvantages of the prior art. In particular, the
30 deodorants should largely protect the microflora of the skin, but selectively reduce the number of microorganisms responsible for body odour.

35 It was furthermore an object of the invention to develop cosmetic deodorants which are distinguished by good skin tolerance. Under no circumstances should the deodorizing active principles become concentrated on the skin.

09091603 04499

Another object was to develop cosmetic deodorants which harmonize with the largest possible number of customary cosmetic auxiliaries and additives, in particular with the perfume constituents which are important precisely in formulations having a deodorizing or antiperspirant action.

Yet another object of the invention was to provide cosmetic deodorants which are active over a relatively long period of time, and in particular of the order of at least half a day, without their action decreasing noticeably.

Finally, it was an object of the present invention to develop deodorizing cosmetic principles which can be incorporated as universally as possible into the most diverse presentation forms of cosmetic deodorants without being limited to one or a few specific presentation forms.

Fungi, also called mycota [$\mu\upsilon\kappa\eta\varsigma$ = Greek for fungus] or mycobionts, in contrast to bacteria, belong to the eukaryotes. Eukaryotes are organisms of which the cells (eucytes), in contrast to those of the so-called prokaryotes (procytes), have a cell nucleus demarcated from the rest of the cytoplasm by a nuclear shell and nuclear membrane. The cell nucleus contains the genetic information stored in chromosomes.

Representatives of mycobionts include, for example, yeasts (Protoascomycetes), moulds (Plectomycetes), mildew (Pyrenomycetes), downy mildew (Phycomycetes) and toadstools (Basidiomycetes).

Fungi, including the Basidiomycetes, are not plant organisms, but like these have a cell wall, vacuoles filled with cell sap and a plasma flow which is easily visible under the microscope. They contain no

09091602-041999

photosynthetic pigments and are C-heterotrophic. They grow under aerobic conditions and obtain energy by oxidation of organic substances. Some representatives, for example yeasts, however, are facultative anaerobic organisms and are capable of producing energy by fermentation processes.

Dermatomycoses are diseases where certain types of fungi, in particular Dermatophytes, penetrate the skin and hair follicles. The symptoms of dermatomycoses are, for example, small blisters, exfoliation, rhagades and erosion, usually combined with itching or allergic eczema.

Dermatomycoses can essentially be divided into the following four groups: dermatophytoses (for example epidermophytosis, favus, microsporiasis and trichophytosis), yeast mycoses (for example pityriasis and other mycoses caused by *Pityrosporum*, *Candida* infections, blastomycosis, Busse-Buschke disease, torulosis, *Piedra alba*, torulopsidosis and trichosporosis), mould mycoses (for example aspergillosis, cephalosporidosis, phycomycosis and scopulariopsidosis) and systemic mycoses (for example chromomycosis, coccidiomycosis and histoplasmosis).

The pathogenic and facultatively pathogenic microbes include, for example, from the group of yeasts, the *Candida* species (for example *Candida albicans*) and those of the family *Pityrosporum*. *Pityrosporum* species, in particular *Pityrosporum ovale*, are thought to be responsible for skin diseases such as pityriasis versicolor, seborrhoea in the form of seborrhoea oleosa and seborrhoea sicca, which manifest themselves above all as seborrhoea capitis (= dandruff), seborrhoeic eczema and *pityrosporum* folliculitis. Participation of *Pityrosporum ovale* in the development of psoriasis is a subject of discussion in the field.

09091602-04199
666740-20916060

All areas of the human skin can be affected by dermatomycoses. Dermatophytoses almost exclusively affect the skin, hair and nails. Yeast mycoses can also affect mucosa and internal organs, while systemic mycoses
5 regularly extend to entire organ systems.

The regions of the body where moisture and heat can build up owing to clothing, jewellery or shoes are affected particularly often. Athlete's foot is thus one
10 of the best-known and most widespread dermatomycoses. Fungal diseases of the finger-nail and toenail regions (onychomycoses), moreover, are particularly unpleasant.

Superinfections of the skin by fungi and bacteria are
15 also not infrequent.

If a new infection with high microbe counts of one or more often physiological pathogens, for example Staphylococci, but often also non-physiological
20 pathogens, for example Candida albicans, occurs with existing primary infection, i.e. the normal microbe population of the skin, and adverse influences coincide, "superinfection" of the affected skin may occur. The
normal microflora of the skin (or of another organ of
25 the body) becomes almost completely overgrown here by the secondary pathogen.

In cases which proceed favourably, such superinfections can manifest themselves in unpleasant skin symptoms
30 (itching, unattractive external appearance), depending on the microbe in question. In cases which proceed adversely, however, they can lead to destruction of the skin over large areas, and in the worst case can even culminate in the death of the patient.

35 Superinfections of the type described above are secondary diseases which often occur, for example, with full-blown AIDS. Microbes, which are harmless per se -

09091602 "041999

at any rate in low microbe densities - but are also
decidedly pathogenic under certain circumstances, over-
grow the healthy skin flora in this manner. Neverthe-
less, in AIDS cases other organs of the body are also
5 affected by superinfections.

Such superinfections are also observed with a large
number of dermatological diseases, for example atopic
eczema, neurodermatitis, acne, seborrhoeic dermatitis or
10 psoriasis. Many medical and therapeutic measures, for
example radio- or chemotherapy of tumour diseases,
immunosuppression induced by medicaments and caused as a
side effect, or else systemic antibiotic treatment, as
well as external chemical or physical influences (for
15 example environmental pollution, smog, extreme exposure
to UV light) also promote the occurrence of
superinfections of the external and internal organs, in
particular of the skin and of the mucosa.

20 Although it is easily possible to combat superinfections
with antibiotics in an individual case, such substances
usually have the disadvantage of unpleasant side
effects. For example, patients are often allergic to
penicillin, and for this reason a corresponding
25 treatment would be out of the question in such a case.

Antibiotics administered topically furthermore have the
disadvantage that they not only free the skin flora from
secondary pathogens but also severely impair the skin
30 flora, which is physiological per se, and the natural
healing process is again slowed down in this way.

The object of the present invention was to eliminate the
disadvantages of the prior art and to provide substances
35 and formulations comprising such substances, by the use
of which superinfections can be healed, the
physiological skin flora suffering no significant
losses.

09091602-044996

09091602.0499
66640.20916060

In contrast to the prokaryotic and eukaryotic cellular organisms, viruses (virus = Latin for poison] are biological structures which require a host cell for biosynthesis. Extracellular viruses (also called "virions") consist of a single- or double-stranded nucleic acid sequence (DNA or RNA) and a protein shell (called a capsid), which may be surrounded by an additional lipid-containing casing (envelope). The entire system of nucleic acid and capsid is also called a nucleocapsid. Viruses are classified conventionally according to clinical criteria, although now they are usually classified according to their structure, their morphology, and in particular according to the nucleic acid sequence.

Medically important genera of viruses are, for example, influenza viruses (Orthomyxoviridae family), lyssaviruses (for example rabies, rhabdovirus family), enteroviruses (for example hepatitis A, Picornaviridae family) and hepadnaviruses (for example hepatitis B, Hepadnaviridae family).

Virucides, that is to say substances which kill viruses, do not exist in the true sense since viruses do not have their own metabolism. For this reason, there has also been debate as to whether viruses should be classified as organisms. Pharmacological intervention without damage to the unaffected cells is at any rate difficult. Possible action mechanisms in the fight against viruses are primarily interference in their replication, for example by blocking the enzymes present in the host cell which are important for replication. Furthermore, the release of the viral nucleic acids into the host cell can be prevented. In the context of the disclosure submitted here, terms such as "antiviral" or "active against viruses", "virucidal" or similar are understood as meaning the property of a substance of protecting a

single-cell or multicell organism from the harmful consequences of a viral infection, whether prophylactically or therapeutically, regardless of the actual action mechanism of the substance in the individual case.

However, the prior art lacks substances which are active against viruses and which furthermore cause no or no appreciable damage to the host organism.

10

An object of the present invention was thus to remedy this poor state of affairs, that is to say to discover substances which effectively protect a single- or multicell organism from the harmful consequences of a viral infection, whether prophylactically or therapeutically.

15

Surprisingly, it has been found, and therein lies the solution of these objects, that the use of alkylated and/or acylated monosaccharides and/or oligosaccharides has antimicrobial, antimycotic and/or antiviral active ingredients overcome the disadvantages of the prior art.

20

It has been found, surprisingly, that the active ingredients used according to the invention prevent the growth of Gram-positive and Gram-negative bacteria, mycobionts and viruses.

25

In particular, the active ingredients used according to the invention are capable of preventing the growth of yeasts, in particular of the *Pityrosporum* species, that is to say *Pityrosporum ovale*.

30

It has furthermore been found that the active ingredients used according to the invention prevent the development of seborrhoeic symptoms, in particular

35

09091602-04199

dandruff, and eliminate already existing seborrhoeic symptoms, in particular dandruff.

5 The active ingredients according to the invention furthermore are particularly suitable for use as a deodorizing active ingredient in cosmetic deodorants and against impure skin, mild forms of acne and Propioni-bacterium acnes.

10 Finally, it has been found that the active ingredients used according to the invention can prevent decay of organic matter, in particular cosmetic and dermatological formulations, due to attack by Gram-positive and Gram-negative bacteria, mycobionts and
15 viruses, if they are added to these formulations.

The alkylated and/or acylated monosaccharides and/or oligosaccharides used according to the invention are sometimes also called alkyl or acyl monoglycosides or
20 oligoglycosides, since the alkyl or acyl group is bonded glycosidally to the saccharide group.

The invention thus also relates to a method of combating mycobionts, characterized in that the active ingredients
25 used according to the invention, if appropriate in a suitable cosmetic or dermatological carrier, are brought into contact with the region contaminated by mycobionts, and to a method for protecting organic products from attack by mycobionts, characterized in that the active
30 ingredients used according to the invention are added in an active amount to these organic products.

The prior art consequently gave not the slightest indication of the use according to the invention as an
35 antimycotic active principle.

It was furthermore surprising that the active ingredients used according to the invention have a

09091602-041999

particularly good action against the microbe
Pityrosporum ovale, which is responsible for the
development of dandruff, and related microbes.
Formulations which are to be used against dandruff, for
5 example antidandruff shampoos, are consequently a
preferred embodiment of the present invention.

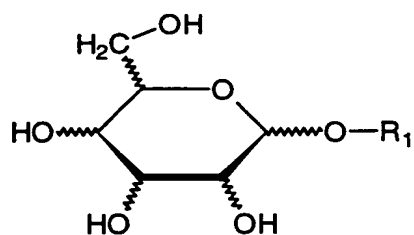
The alkylated and/or acylated monosaccharides and/or
oligosaccharides used according to the invention are
10 preferably covered by the generic structure Glyc-R, in
which Glyc is a monosaccharide group, a disaccharide
group or a trisaccharide group, and the radical R,
which is a branched or unbranched saturated alkyl group
or acyl group having 1 - 25 carbon atoms, which group
15 is bonded glycosidally to the group Glyc.

The hexoses on which the alkyl and acyl monoglycosides
used according to the invention are advantageously
based are preferably chosen from the group consisting
20 of aldohexoses, usually in their pyranoside form, thus
allo(pyrano)ses, altro(pyrano)ses, gluco(pyrano)ses,
manno(pyrano)ses, gulo(pyrano)ses, ido(pyrano)ses, ga-
lacto(pyrano)ses and talo(pyrano)ses, but the
aldohexosyl derivatives present in furanoside form are
25 also to be advantageously used, if necessary, according
to the invention.

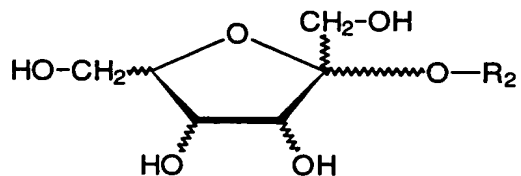
Parent (hexosyl)hexoses for disaccharides used
according to the invention are advantageous and may
30 preferably be chosen from the group consisting of
pyranosylpyranoses and furanosylpyranoses having a 1,4-
glycosidal or 1,6-glycosidal bond. They are preferably
chosen from the group consisting of maltose, leucrose,
lactose and sucrose.

35 Accordingly, the alkyl and acyl monoglycosides
preferably used according to the invention can be
characterized by the general structural formulae

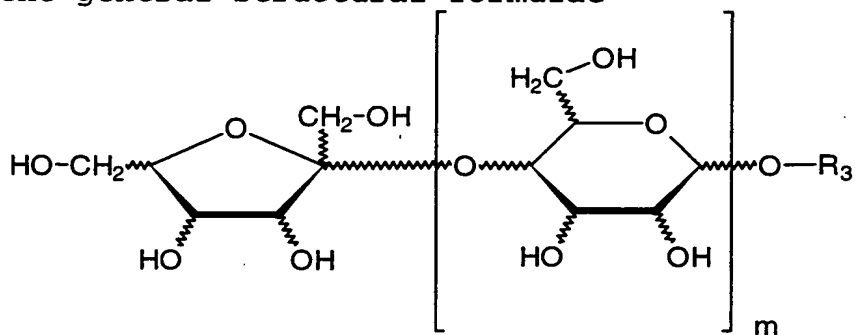
09091602 1041999



and

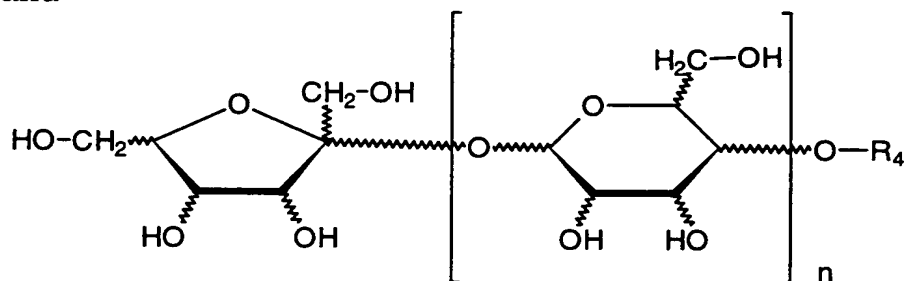


5 and the alkyl and acyl diglycosides and oligoglycosides used according to the invention are characterized by the general structural formulae



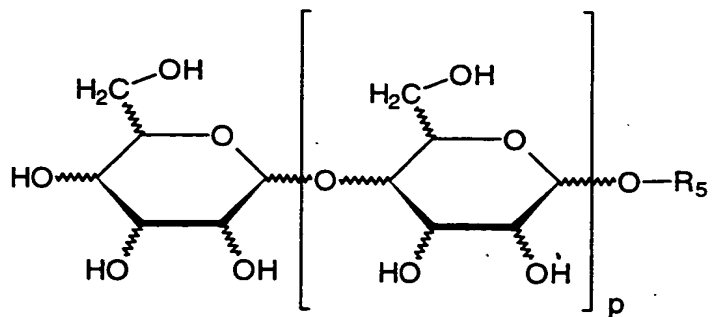
where $m = 1 - 4$

and



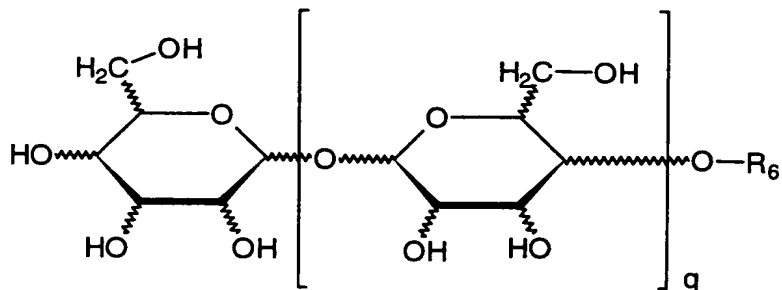
where $n = 1 - 4$

and



where $p = 1 - 4$

and



where $q = 1 - 4$

in which $R_1 - R_6$ include branched or unbranched saturated alkyl groups or acyl groups having 1 - 25 carbon atoms.

The use of D-glycosides is advantageous, although L-glycosides or mixed D/L-glycosides can also be used advantageously for the purposes of the present invention.

Hexosylglycosides, on which D- or L-ketohexoses are based, thus psicose, fructose, sorbose or tagatose, usually present in their furanoside form, can also be advantageously used, if necessary, for the purposes of the present invention.

Alkyl and acyl glycosides which are used particularly advantageously according to the invention are chosen from the group consisting of β -D-octylglucopyranoside, β -D-nonylglucopyranoside, β -D-decylglucopyranoside, β -D-undecylglucopyranoside, β -D-dodecylglucopyranoside, β -D-tetradecylglucopyranoside and β -D-hexadecylglucopyranoside.

05091602-041999

Particular preference is given to β -D-octylglucopyranoside, β -D-nonylglucopyranoside and β -D-dodecylglucopyranoside, which are characterized in particular by very good action against *Corynebacterium* xerosis.

It is also advantageous to use natural or synthetic raw materials, auxiliaries and mixtures, which are characterized by an effective content of the active ingredients used according to the invention, for example Plantaren® 1200 (Henkel KGaA) and Oramix® NS 10 (Seppic).

It has been found, surprisingly, that the active ingredients used according to the invention prevent the growth of Gram-positive and Gram-negative bacteria, mycobionts and viruses.

In particular, the active ingredients used according to the invention are capable of preventing the growth of yeasts, in particular of the *Pityrosporum* species, that is to say *Pityrosporum ovale*.

It has furthermore been found that the active ingredients used according to the invention prevent the development of seborrhoeic symptoms, in particular dandruff, and eliminate already existing seborrhoeic symptoms, in particular dandruff.

The active ingredients used according to the invention furthermore are particularly suitable for use as a deodorizing active ingredient in cosmetic deodorants and against impure skin, mild forms of acne and *Propioni-bacterium acnes*.

Finally, it has been found that the active ingredients used according to the invention can prevent decay of organic matters, in particular cosmetic and

09091602-041999

dermatological formulations, due to attack by Gram-positive and Gram-negative bacteria, mycobionts and viruses, if they are added to these formulations.

5 The invention thus also relates to a method of combating mycobionts, characterized in that the active ingredients used according to the invention, if appropriate in a suitable cosmetic or dermatological carrier, are brought into contact with the region contaminated by mycobionts, and to a method for protecting organic products from
10 attack by mycobionts, characterized in that the active ingredients used according to the invention are added in an active amount to these organic products.

15 The prior art consequently gave not the slightest indication of the use according to the invention as an antimycotic active principle.

It was furthermore surprising that the active ingredients used according to the invention have a particularly good action against the microbe
20 Pityrosporum ovale, which is responsible for the development of dandruff, and related microbes. Formulations which are to be used against dandruff, for example antidandruff shampoos, are consequently a
25 preferred embodiment of the present invention.

According to the invention, the active ingredients are preferably used in cosmetic or dermatological compositions in a content of 0.005 - 50.0% by weight, in particular 0.01 - 20.0% by weight, based on the
30 total weight of the composition. The compositions advantageously comprise 0.02 - 10.0% by weight, particularly preferably 0.02 - 5.0% by weight, of the active ingredients used according to the invention, very particularly advantageously 0.5 - 3.0% by weight, in each case based on the total weight of the
35 composition.

09091602-041999

5 The active ingredients used according to the invention can be incorporated without difficulties into common cosmetic or dermatological formulations, advantageously into pump sprays, aerosol sprays, creams, ointments, tinctures, lotions, nail care products (e.g. nail varnishes, nail varnish removers, nail balsams) and the like.

10 It is also possible and in some instances advantageous to combine the active substances used according to the invention with other active substances, for example with other antimicrobial, antimycotic or antiviral substances.

15 It is advantageous to buffer the compositions according to the invention. A pH range from 3.5 - 7.5 is advantageous. It is particularly favourable to choose the pH within a range from 4.0 - 6.5.

20 The cosmetic and/or dermatological formulations according to the invention can have the customary composition and can be used for treating the skin and/or the hair in the sense of a dermatological treatment or a treatment in the sense of care
25 cosmetics. They can however also be used in make-up products in decorative cosmetics.

30 For use, the cosmetic and dermatological formulations according to the invention are applied to the skin and/or the hair in an adequate amount in the manner customary for cosmetics and dermatological products.

35 Those cosmetic and dermatological formulations which are in the form of a sunscreen are advantageous. These advantageously additionally comprise at least one UVA filter and/or at least one UVB filter and/or at least one inorganic pigment.

09091602-041999

Cosmetic formulations according to the invention for the protection of the skin against UV rays can be in various forms, such as are usually used for this type of formulation. For example, they can be a solution, an
5 emulsion of the water-in-oil (W/O) type or of the oil-in-water (O/W) type, or a multiple emulsion, for example of the water-in-oil-in-water (W/O/W) type, a gel, a hydrodispersion, a solid stick, or also an aerosol.

10

The cosmetic formulations according to the invention can comprise cosmetic auxiliaries such as are usually used in such formulations, e.g. preservatives, bactericides, antioxidants, perfumes, antifoams,
15 colorants, pigments which have a colouring effect, thickeners, surfactants, emulsifiers, emollients, moisturizers and/or humectants, fats, oils, waxes or other customary constituents of a cosmetic formulation, such as alcohols, polyols, polymers, foam stabilizers,
20 electrolytes, organic solvents or silicone derivatives.

If the cosmetic or dermatological formulation is a solution or lotion, solvents which may be used are:

- water or aqueous solutions;
- 25 - oils, such as triglycerides of capric or of caprylic acid, but preferably castor oil;
- fats, waxes and other natural and synthetic fatty substances, preferably esters of fatty acids with alcohols of low carbon number, e.g. with
30 isopropanol, propylene glycol or glycerol, or esters of fatty alcohols with alkanolic acids of low carbon number or with fatty acids;
- alcohols, diols or polyols of low carbon number, and ethers thereof, preferably ethanol,
35 isopropanol, propylene glycol, glycerol, ethylene glycol, ethylene glycol monoethyl or monobutyl ether, propylene glycol monomethyl, monoethyl or

09091602.041999

5

10

15

25

30

35

acid, bile acid, bile extracts, bilirubin, biliverdin, EDTA, EGTA and derivatives thereof, unsaturated fatty acids and derivatives thereof (for example γ -linolenic acid, linoleic acid, oleic acid), folic acid and derivatives thereof, ubiquinone and ubiquinol and derivatives thereof, vitamin C and derivatives (for example ascorbyl palmitate, Mg ascorbyl phosphate, ascorbyl acetate), tocopherols and derivatives (for example vitamin E acetate), vitamin A and derivatives (vitamin A palmitate) and coniferyl benzoate of benzoin resin, rutic acid and derivatives thereof, ferulic acid and derivatives thereof, butylated hydroxytoluene, butylated hydroxyanisole, nordihydroguaiiac resin acid, nordihydroguaiaretic acid, trihydroxybutyrophenone, uric acid and derivatives thereof, mannose and derivatives thereof, zinc and derivatives thereof (for example ZnO, ZnSO₄), selenium and derivatives thereof (for example selenium methionine), stilbenes and derivatives thereof (for example stilbene oxide, trans-stilbene oxide) and the derivatives of these active ingredients mentioned which are suitable according to the invention (salts, esters, ethers, sugars, nucleotides, nucleosides, peptides and lipids).

The amount of the antioxidants (one or more compounds) in the formulations is preferably from 0.001 to 30% by weight, particularly preferably 0.05-20% by weight, in particular 1-10% by weight, based on the total weight of the formulation.

30

If vitamin E and/or derivatives thereof is or are the antioxidant or antioxidants, it is advantageous to choose the particular concentrations thereof from the range 0.001 - 10% by weight, based on the total weight of the formulation.

35

If vitamin A or vitamin A derivatives or carotenes or derivatives thereof is or are the antioxidant or

09091602 04199

antioxidants, it is advantageous to choose their particular concentrations from the range 0.001 - 10% by weight, based on the total weight of the formulation.

- 5 Emulsions according to the invention are advantageous and comprise, for example, the specified fats, oils, waxes and other fatty substances, and water and an emulsifier, such as is customarily used for such a type of formulation.
- 10 Gels according to the invention usually comprise alcohols of low C number, e.g. ethanol, isopropanol, 1,2-propanediol, glycerol and water and an abovementioned oil in the presence of a thickener which
- 15 in the case of oily-alcoholic gels is preferably silicon dioxide or an aluminium silicate, and in the case of aqueous-alcoholic or alcoholic gels is preferably a polyacrylate.
- 20 Solid sticks according to the invention comprise, for example, natural or synthetic waxes, fatty alcohols or fatty acid esters. Preference is given to lip care sticks and deodorizing sticks ("Deo-Sticks").
- 25 Suitable propellants for cosmetic or dermatological formulations according to the invention which can be sprayed from aerosol containers are the usual known, readily volatile, liquefied propellants, for example hydrocarbons (propane, butane, isobutane), which can be used on their own or in mixtures with one another.
- 30 Compressed air is also advantageous.

The person skilled in the art obviously knows that there are propellant gases which are non-toxic per se and which would in principle be suitable for the

35 present invention, but which, because of their harmful effect on the environment or other accompanying circumstances, should be avoided, in particular fluorocarbons and chlorofluorocarbons (CFCs).

04991602-0499

The formulations according to the invention can preferably also comprise substances which absorb UV radiation in the UVB region, the total amount of filter substances being, for example, from 0.1% by weight to 30% by weight, preferably from 0.5 to 10% by weight, in particular from 1 to 6% by weight, based on the total weight of the formulation, in order to provide cosmetic formulations which protect the skin from the entire region of ultraviolet radiation. They can also be used as sunscreen.

The UVB filters can be oil-soluble or water-soluble. Examples of oil-soluble substances which can be mentioned are:

- 15 - 3-benzylidenecamphor and its derivatives, preferably 3-(4-methylbenzylidene)camphor;
- 4-aminobenzoic acid derivatives, preferably 2-ethylhexyl 4-(dimethylamino)benzoate, amyl 4-(dimethylamino)benzoate;
- 20 - esters of cinnamic acid, preferably 2-ethylhexyl 4-methoxycinnamate, isopentyl 4-methoxycinnamate;
- esters of salicylic acid, preferably 2-ethylhexyl salicylate, 4-isopropylbenzyl salicylate, homomenthyl salicylate;
- 25 - derivatives of benzophenone, preferably 2-hydroxy-4-methoxybenzophenone, 2-hydroxy-4-methoxy-4'-methylbenzophenone, 2,2'-dihydroxy-4-methoxybenzophenone;
- esters of benzalmalonic acid, preferably
- 30 di(2-ethylhexyl) 4-methoxybenzalmalonate;
- 2,4,6-trianilino-(p-carbo-2'-ethyl-1'-hexyloxy)-1,3,5-triazine.

Water-soluble substances are advantageously:

- 35 - 2-phenylbenzimidazole-5-sulphonic acid and its salts, for example sodium, potassium or triethanolammonium salts;

06640" 20916060

- sulphonic acid derivatives of benzophenones, preferably 2-hydroxy-4-methoxybenzophenone-5-sulphonic acid and its salts;
- sulphonic acid derivatives of 3-benzylidene camphor, such as for example 4-(2-oxo-3-bornylidenemethyl)benzenesulphonic acid, 2-methyl-5-(2-oxo-3-bornylidenemethyl)sulphonic acid and its salts.

10 The list of given UVB filters which can be used according to the invention is of course not intended to be limiting.

15 It can also be advantageous to use UVA filters which are usually present in cosmetic and/or dermatological formulations in the formulations according to the invention. Such substances are preferably derivatives of dibenzoylmethane, in particular 1-(4'-tert-butylphenyl)-3-(4'-methoxyphenyl)propane-1,3-dione and 20 1-phenyl-3-(4'-isopropylphenyl)propane-1,3-dione.

Formulations which contain these combinations are also a subject-matter of the invention. The same amount of UVA filter substances which were given for UVB filter substances can be used.

25

Cosmetic and/or dermatological formulations according to the present invention can also contain inorganic pigments which are usually used in the cosmetics industry for the protection of skin against UV radiation. These are oxides of titanium, zinc, iron, 30 zirconium, silicon, manganese, aluminium, cerium and mixtures thereof, and modifications in which the oxides are the active agents. Pigments based on titanium dioxide are particularly preferred. The quantities 35 given for the above combinations can be used.

Cosmetic formulations for hair care are, for example, shampoo compositions, formulations which are used when

09091602 04190

5 rinsing the hair before or after shampooing, before or
after permanent wave treatment or before or after
colouring or bleaching the hair, formulations for blow-
drying or setting the hair, formulations for colouring
or bleaching, a styling and treatment lotion, a hair
lacquer or a permanent wave composition.

10 The cosmetic formulations comprise active ingredients
and auxiliaries as are usually used for this type of
formulation for hair care and hair treatment.

15 The auxiliaries used are preservatives, surfactants,
antifoams, emulsifiers, thickeners, fats, oils, waxes,
organic solvents, bactericides, perfumes, colorants or
pigments, the task of which is to colour the hair or
the formulation itself, electrolytes and formulations
to prevent the hair becoming greasy.

20 Cosmetic formulations which are a shampoo composition
or a wash, shower or bath formulation preferably
comprise at least one anionic, nonionic or amphoteric
surfactant or mixtures thereof, active ingredients
according to the invention and auxiliaries as are
usually used for this purpose.

25 Examples of surfactants which can be used
advantageously according to the invention are
conventional soaps, for example fatty acid salts of
sodium, alkyl sulphates, alkyl ether sulphates, alkane-
30 and alkylbenzenesulphonates, sulphoacetates, sulpho-
betaines, sarcosinates, amidosulphobetaines, sulpho-
succinates, sulphosuccinic acid monoesters, alkyl ether
carboxylates, protein-fatty acid condensates, alkyl-
betaines and amidobetaines, fatty acid alkanolamides
35 and polyglycol ether derivatives.

09091502 1041999
666740 20916060

The surfactant can be present in a concentration between 1% by weight and 50% by weight in the shampoo composition or the wash, shower or bath preparation.

5 If the cosmetic or dermatological formulation is in the form of a lotion which is rinsed out and used, for example, before or after colouring, before or after shampooing, between two shampooing steps, or before or after a permanent wave treatment, it comprises, for
10 example, aqueous or aqueous-alcoholic solutions, which, if desired, comprise surfactants, preferably nonionic or cationic surfactants, the concentration of which may lie between 0.1 and 10% by weight, preferably between 0.2 and 5% by weight. This cosmetic or dermatological
15 preparation may also be an aerosol comprising the customary auxiliaries used for this purpose.

A cosmetic formulation in the form of a lotion which is not rinsed out, in particular a lotion for setting the
20 hair, a lotion which is used when blow-drying the hair, a styling and treatment lotion, is generally an aqueous, alcoholic or aqueous-alcoholic solution and comprises at least one cationic, anionic, nonionic or amphoteric polymer or mixtures thereof, and active
25 ingredients according to the invention. The amount of active ingredients according to the invention used is, for example, between 0.1 and 10% by weight, preferably between 0.1 and 3% by weight.

30 Cosmetic and dermatological formulations for the treatment and care of hair which comprise the active ingredients used according to the invention may be in the form of emulsions of the nonionic or anionic type. As well as comprising water, nonionic emulsions
35 comprise oils or fatty alcohols, which may, for example be polyethoxylated or polypropoxylated, or mixtures of the two organic components. These emulsions comprise, if desired, cationic surfactants.

00091600 041999

5 Cosmetic and dermatological formulations for the
treatment and care of the hair can be in the form of
gels, which, in addition to active ingredients used
according to the invention and solvents customarily
10 used for this purpose, also comprise organic
thickeners, for example gum arabic, xanthan gum, sodium
alginate, cellulose derivatives, preferably methyl-
cellulose, hydroxymethylcellulose, hydroxyethyl-
cellulose, hydroxypropylcellulose or hydroxypropyl-
15 methylcellulose, or inorganic thickeners, e.g.
aluminium silicates, such as, for example, bentonites,
or a mixture of polyethylene glycol and polyethylene
glycol stearate or distearate. The thickener is present
in the gel, for example in an amount between 0.1 and
20 30% by weight, preferably between 0.5 and 15% by
weight.

20 The amount of the active ingredients used according to
the invention in a product intended for the hair is
preferably from 0.01% by weight to 10% by weight, in
particular from 0.5% by weight to 5% by weight, based
on the total weight of the formulations.

25 The examples below serve to illustrate the present
invention without limiting it.

09091602.041998

Example 1

W/O cream

		I	II
5	Paraffin oil	10.00	10.00
	Ozokerite	4.00	4.00
	Vaseline	4.00	4.00
	Vegetable oil	10.00	10.00
	Wool wax alcohol	2.00	2.00
10	Aluminium stearate	0.40	0.40
	Octylglucoside	3.00	-
	Sucrose laurate	-	3.00
	Perfume, preservatives q.s.	
	Water, deionized to 100.00	
15	pH: to 5.5 - 6.0 .	

Example 2

20	O/W lotion		
		I	II
	Paraffin oil	5.00	5.00
	Isopropyl palmitate	5.00	5.00
	Cetyl alcohol	2.00	2.00
25	Beeswax	2.00	2.00
	Ceteareth-20	2.00	2.00
	PEG-20-glyceryl stearate	1.50	1.50
	Glycerol	3.00	3.00
	Plantaren® 1200	5.00	-
30	Decylglucoside	-	5.00
	Perfume, preservatives q.s.	
	Water, deionized to 100.00	
	pH: to 5.5 - 6.0	

Skin oil

15 Example 4

Lipstick

	I	II
20 Ceresine	8.00	8.00
Beeswax	4.00	4.00
Carnauba wax	2.00	2.00
Vaseline	40.00	40.00
Hydrogenated castor oil	4.00	4.00
Caprylic/capric triglyceride	6.00	6.00
25 Plantaren® 1200	2.00	-
Sucrose myristate	-	2.00
Perfume, preservatives q.s.
Paraffin oil to 100.00

Example 5

Care mask

	I	II
5		
PEG-50 lanolin	0.50	0.50
Glyceryl stearate	2.00	2.00
Sunflower kernel oil	3.00	3.00
Bentonite	8.00	8.00
Kaolin	35.00	35.00
10		
Zinc oxide	5.00	5.00
Glucose caprylate	2.00	-
Oramix® NS 10	-	2.00
Perfume, preservatives	q.s.
Water, deionized	to 100.00
15		
pH:	ad 5,5 - 6,0

Example 6

20

Syndet soap

	I	II
Sodium lauryl sulphate	30.00	30.00
Sodium sulphosuccinate	10.00	10.00
25		
Potassium cocoyl		
hydrolysed collagen	2.00	2.00
Dimethicone copolyol	2.00	2.00
Paraffin	2.00	2.00
Maize starch	10.00	10.00
30		
Talc	10.00	10.00
Glycerol	3.00	3.00
Plantaren® 1200	3.00	-
Oramix® NS 10	-	3.00
Perfume, preservatives	q.s.
35		
Water, deionized	to 100.00
pH:	to 5.5 - 6.0

00041602 "041999

Example 7

Care shampoo

	I	II
5 Sodium lauryl sulphate	34.00	34.00
Disodium lauryl sulphosuccinate	6.00	6.00
Cocoamidopropylbetaine	10.00	10.00
Glycol distearate	5.00	5.00
10 Decylfructoside	2.50	-
Hexadecylglucoside	-	2.50
Perfume, preservatives q.s.
Water, deionized to 100.00
pH: to 5.5 - 6.0

15

Example 8

20 Shaving foam	I	II
Stearic acid	7.00	7.00
Sodium lauryl sulphate	3.00	3.00
Stearyl alcohol	1.00	3.00
25 Glycerol	5.00	5.00
Triethanolamine	3.60	3.60
Sucrose caprylate	1.50	-
Sucrose myristate	-	1.50
Perfume, preservatives q.s.
30 Water, deionized to 100.00
pH: to 5.5 - 6.0

0001602-0499

Example 9

Aerosol spray

	I	II
5 Octyldodecanol	0.50	0.50
Plantaren® 1200	2.00	-
Sucrose myristate	-	2.00
Perfume, preservatives q.s.
Ethanol to 100.00

10

The liquid phase obtained by mixing together the particular constituents is transferred to an aerosol container together with a propane/butane mixture (2:7) in the ratio 39:61.

15

Example 10

Roll-on gel

	I	II
20 1,3-Butylene glycol	2.00	2.00
PEG-40-hydrogenated		
castor oil	2.00	2.00
Hydroxyethylcellulose	0.50	0.50
25 Plantaren® 1200	5.00	-
Decylglucoside	-	5.00
Perfume, preservatives q.s.
Water, deionized to 100.00
pH: to 5.5 - 6.0

30

009160-0499